REQUESTED /JK/



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## FACSIMILE COVER SHEET

TO: Examiner Joseph R. Kosack	FAX NO.: <u>571-273-5575</u>
FROM: Douglas J. Bucklin	
U.S. Patent Application No10/574,982	
OUR FILE NO. <u>SMC-PT006</u>	
Dear Examiner Kosack,	
Attached please find correspondence in con application. If you have any questions, plea	
NUMBER OF PAGES INCLUDING THIS	COVER SHEET: 50
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February 24, 2010

### IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Our File

Date:

In the PATENT APPLICATION of:

Bansi Lal et al.

Application No.: 10/574,982

Confirmation No.: 8762

Filed:

April 7, 2006

For: FIBRINOGEN RECEPTOR ANTAGONISTS AND THEIR USE

Group: 1626

Examiner:

Joseph R. Kosack

# PROPOSED EXAMINER'S AMENDMENT

Examiner Joseph R. Kosack Group 1626 Facsimile 571-273-5575

Dear Examiner Kosak:

Applicant extends its thanks for considering an Examiner's amendment to place the application in condition for allowance. As per your initial phone call, Applicant is agreeable to cancelling most of the withdrawn claims. But Applicant believes that amendments to claim 32 would allow rejoinder of this claim. Accordingly, Applicant proposes the following amendments and requests that claim 32 be rejoined. As per our subsequent phone call, this facsimile includes a marked claim set showing the proposed amendment, and a clean claim set where all amendments are made. The clean set of claims follows the marked set.

# Marked Claim Set

### 1 - 24 (Cancelled)

(Previously presented) A compound of the general formula (I):

wherein

ring A is phenyl;

RA is a group of formula (3):

wherein p is 0;

s is 1;

R<sup>1</sup> is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, -C(=O)OR<sup>5</sup>, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle;

R³ and R⁴ are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, -C(=O)OR⁵, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, -OR⁵, -SR⁵, -NR⁵R⁵, -S(=O)₂NR⁵R⁵, -S(=O)₂R⁵, -C(=O)R⁵, -C(=O)R°, -C

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C(=O)NR<sup>5</sup>R<sup>6</sup>, -C(=O)OR<sup>5</sup>, -C(=O)SR<sup>5</sup>, -OC(=O)OR<sup>5</sup>, -OC(=O)OR<sup>5</sup>, -OC(=O)NR<sup>5</sup>R<sup>6</sup>, -OS(=O)<sub>2</sub>NR<sup>5</sup>, -S(C=O)NR<sup>5</sup> and -OS(=O)<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, or R<sup>3</sup> and R<sup>1</sup> or R<sup>4</sup>, together with the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR<sup>5</sup>;

R<sup>5</sup> and R<sup>6</sup> are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

RB is H:

Y1 and Y2, together, are selected from: =O and =S;

Z is N:

Wis CH:

RC is H:

n is 0, 1, 2 or 3;

R<sup>D</sup> and R<sup>E</sup> are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy,

 $-C(=O)OR^5$ ,  $-OR^{17}$ ,  $-SR^{17}$ ,  $-NR^{17}R^{18}$ ,  $-NHC(=O)R^{17}$ ,  $-NHC(=O)OR^{17}$ ,  $-OC(=O)R^{17}$ ,  $-SC(=O)_2R^{17}$  and  $-NHS(=O)_2R^{17}$ ;

R17 and R18 have the same meaning as R5 and R6, defined above;

RF is selected from: O, S and N(OR19);

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R19 has the same meaning as R5, defined above;

R<sup>G</sup> is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

$$T-(CH_2)_{\alpha}-CR^{23}R^{24}-COR^{25}$$
 (5)

 $C(=O)OR^{21}$ ,  $-S(=O)_2R^{21}$  and  $-S(=O)_2OR^{21}$ ;

T is selected from: -CH2, O, S and NH;

and optionally, further substituted by one or more groups selected from:  $-R^5$ , halogen, -CN, -SCN, -CNO,  $-OR^{21}$ ,  $-OC(=O)R^{21}$ ,  $-OS(=O)_2R^{21}$ ,  $-OS(=O)_2R^{21}R^{22}$ ,  $-OC(=O)OR^{21}$ ,  $-OC(=O)SR^{21}$ ,  $-OC(=O)NR^{21}R^{22}$ ,  $-SR^{21}$ ,  $-S(=O)R^{21}$ ,  $-NO_2$ ,  $-NR^{21}(OR^{22})$ ,  $-NR^{21}(C^{22})$ ,

 $R^{21}$  has the same meaning as  $R^1,$  defined above, and  $R^2$  is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, -C(=0)OR5, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle:

q is 0, 1, 2 or 3;

 $R^{23}$  and  $R^{24}$  are independently selected from: H, alkyl alkenyl, alkynyl, cycloalkyl, cycloalkyl, aryl, arylalkyl, heterocycle and  $C(=O)R^{25}$ , wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from:  $-OR^1, -OC(=O)R^1, -OS(=O)_2R^1, -S(=O)_2NR^1R^2, -OC(=O)OR^1, -OC(=O)SR^1, \\ -OC(=O)NR^1R^2, -SR^1, -S(=O)R^1, -SC(=O)H, -SC(=O)OR^1, -NR^1(OR^2), -NR^1R^2, \\ -NR^1C(=O)R^2, -N(R^1)C(=O)OR^2, -NR^1S(=O)_2R^2, C(=O)OR^1, -S(=O)_2R^1 and \\ -S(=O)_2OR^1;$ 

R<sup>25</sup> is selected from: OR<sup>5</sup>, SR<sup>5</sup>, -OCR<sup>3</sup>R<sup>4</sup> and -NR<sup>5</sup>R<sup>6</sup>, wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined above and wherein optionally, R<sup>3</sup> and R<sup>4</sup>, together with the carbon

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to which they are attached, form an unsubstituted or substituted 5-, 6- or 7-membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR<sup>5</sup>; and the group NR<sup>5</sup>R<sup>6</sup> is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

in all its stereoisomeric and tautomeric forms and mixtures thereof in all ratios, and its pharmaceutically acceptable salts.

26. (Previously presented) A compound according to claim 25, wherein R<sup>G</sup> is selected from: phenyl, piperidinyl and piperazinyl, and said phenyl, piperidinyl and piperazinyl are substituted by one or more groups of the formula (5):

$$T-(CH_2)_0-CR^{23}R^{24}-COR^{25}$$
 (5)

and optionally, further substituted by one or more groups selected from:  $-R^5$ , halogen, -CN, -SCN, -CNO,  $-OR^{21}$ ,  $-OC(=O)R^{21}$ ,  $-OS(=O)_2R^{21}$ ,  $-OS(=O)_2R^{21}R^{22}$ ,  $-OC(=O)OR^{21}$ ,  $-OC(=O)SR^{21}$ ,  $-OC(=O)NR^{21}R^{22}$ ,  $-SR^{21}$ ,  $-S(=O)R^{21}$ ,  $-NO_2$ ,  $-NR^{21}(OR^{22})$ ,  $-NR^{21}R^{22}$ ,  $-NR^{21}C(=O)R^{22}$ ,  $-N(R^{21})C(=O)OR^{22}$ ,  $-N(S(=O)_2R^{21})R^{23}$ ,  $-C(=O)OR^{21}$ ,  $-S(=O)_2R^{21}$  and  $-S(=O)_2OR^{21}$ ; and  $-S(=O)_2OR^{21}$  are as defined in claim 25

27. (Previously presented) A compound according to claim 25, wherein R<sub>1</sub> is hydrogen:

 $R_3$  and  $R_4$  are independently selected from: H, OH, -C(O)OH and -C(O)Oalkyl;  $R^B = R^C = R^D = R^E = hvdrogen$ ;

Y1 and Y2, together are =O;

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n is the integer 0 or 1;

 $R^G$  is phenyl, substituted with one or more of the group of formula (5):  $T-(CH_2)_{q-1}$   $CR^{23}R^{24}-COR^{25}$ , wherein  $R^{23}$  is H and  $R^{24}$  is H, and, optionally, the compound is further substituted with one or more of the groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy,  $-C(=O)OR^5$ ,  $SR^{21}$ ,  $S(=O)_BR^{21}$  and  $-N(R^{21})-C(O)CH_3$ ,  $-CH_2C(O)R^{25}$ ;

and R<sup>25</sup> is selected from: OR<sup>5</sup>, OCR<sup>3</sup>R<sup>4</sup> and NR<sup>5</sup>R<sup>6</sup>, wherein R<sup>3</sup> and R<sup>4</sup>, together with the carbon to which they are attached form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR<sup>5</sup>; and

R5, R6 and R21 are independently selected from: H, alkyl and phenyl.

28. (Currently Amended) A compound according to claim [[1]] 25, wherein

R1 is hydrogen;

R<sub>3</sub> and R<sub>4</sub> are independently selected from: H, OH, -C(O)OH and -C(O)Oalkyl;

 $R^B = R^C = R^D = R^E = hydrogen;$ 

Y1 and Y2, together are =O;

n is the integer 0 or 1;

R<sup>G</sup> is selected from: piperidinyl and piperazinyl, wherein said piperidinyl and piperazinyl are substituted with one or more of the group of formula (5): T-(CH<sub>2</sub>)<sub>q</sub>-CR<sup>2</sup>sR<sup>2</sup>4-COR<sup>2</sup>5, wherein R<sup>2</sup>3 is H and R<sup>2</sup>4 is H and, optionally, further substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR<sup>5</sup>;

and

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R25 is OR5, wherein R5 is selected from: H, alkyl and phenyl.

- A compound according to claim 25 selected from: (Previously presented)
  - (4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
  - (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid methyl ester;
  - (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester:
  - (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid ethyl ester;
  - 4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;
  - (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester:
  - (4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid isobutyl ester;
  - (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-

acetyl}-phenoxy)-acetic acid isobutyl ester;

- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- $\label{eq:condition} $$(4-\{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;$
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid:
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,8-dihydro-isoindol-2-yl]-acetyl)-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-15-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-(3-methyl-butyrylamino)-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-1-hydroxyimino-ethyl}-phenoxy)-acetic acid ethyl ester;
- (4-(2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl)-2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
- 2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-

### diethyl-acetamide;

- 4-(2-(4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxyl-acetoxy)-piperidine-1-carboxylic acid benzyl ester;
- 4-Benzyloxycarbonylamino-2-(4-[2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxyl-butyric acid ethyl ester:
- 4-Benzyloxycarbonylamino-2-(4-{2-15-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl}-phenoxy)-butyric acid ethyl ester;
- (4-{2-(5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-[2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-15-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester:
- (2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester:
- (2-Ethanesulfonyl-4-{2-{5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2,6-Bis-ethylsulfanyl-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-

- vll-acetyll-phenoxy)-acetic acid ethyl ester;
- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(2\text{-}(Ethoxy carbonyl methyl-methane sulfonyl-amino)-} 4\text{-}\{2\text{-}(S\text{-}(N\text{-}hydroxy carbamimidoyl)-}1\text{-}oxo-}1,3\text{-}dihydro-isoindol-}2\text{-}yl]-acetyl\}-phenoxy)-acetic acid ethyl ester: \\$
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-3-hydroxy-phenoxy)-acetic acid;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3propoxy-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;
- (3-Ethoxycarbonylmethoxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vl|-acetyl|-phenoxy)-acetic acid;
- (2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-

- 2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(5-Hydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-0xo-1,3-dihydro-1-0xo-1-$
- acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;
- (2-tert.Butyl-5-hydroxy-4- $\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;$
- (2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;
- (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl)-2-propyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl]-2-propyl-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;
- (4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-5-methoxy-phenoxy)-acetic acid ethyl ester;
- $(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-(3,5-Dihydroxy-4-($

- vI]-acetyl}-phenoxy)-acetic acid ethyl ester:
- (2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-
- 1.3-dihydro-isoindol-2-vll-acetyl}-phenoxy)-aceic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-
- 1.3-dihvdro-isoindol-2-vl]-acetvl}-phenoxy)-acetic acid ethyl ester;
- (1-{2S-[5-(N-Hvdroxycarbamimidoyl)-1-oxo-1,3-dihvdro-isoindol-2-vl]-3-(4-
- hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{2-[5-(N-Hvdroxycarbamimidoyl)-1-oxo-1,3-dihvdro-isoindol-2-yl]-acetyl}piperidin-4-vloxy)-acetic acid ethyl ester;
- (1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}piperidin-4-vloxy)-acetic acid ethyl ester;
- (1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}piperidin-4-vloxy)-acetic acid ethyl ester;
- (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4yloxy)-acetic acid ethyl ester;
- (1-{2-[5-(tert-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4yloxy)-acetic acid;
- (3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester:
- (4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxyphenoxyl-acetic acid ethyl ester:
- (4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxyphenoxy)-acetic acid;
- (3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-

isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- (4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-vl]-acetyl}-3hydroxy-phenoxy)-acetic acid ethyl ester;
- (3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2propyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-propyl-phenoxy)-acetic acid; and
- (3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl}acetyl}-phenoxy)-acetic acid ethyl ester.
- 30. (Previously presented) A compound according to claim 27 selected from:
  - (4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
  - (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid methyl ester;
  - (4-{2-I5-Carbamimidoyl-1-oxo-1.3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester:
  - (4-{2-[5-(N-Hvdroxycarbamimidoyl)-1-oxo-1,3-dihvdro-isoindol-2-vl]-acetyl}phenoxy)-acetic acid ethyl ester:
  - 4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid isopropyl ester;
  - (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-

acetyl}-phenoxy)-acetic acid isopropyl ester;

- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid isopropyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-nhenoxy) -acetic acid isobutyl ester:
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester:
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid:
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxyl-acetic acid benzyl ester;
- $(4-\{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-2-ethoxy\ carbonyl$

methoxy-phenoxy)-acetic acid ethyl ester;

- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetyl|-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-[2-[5-(imino-[3-methyl-butyrylamino]-methyl)-1oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
- 2-(4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl]-phenoxy)-NN-diethyl-acetamide;
- 4-(2-(4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyll-phenoxyl-acetoxy)-piperidine-1-carboxylic acid benzyl ester;
- 4-Benzyloxycarbonylamino-2-(4-[2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-phenoxyl-butyric acid ethyl ester;
- 4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenylsulfanyl)-acetic acid methyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-2-chlorophenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(4-\{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-2-ethyl \quad sulfanyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl-2-ethyl \quad sulfanyl-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1,3-dihydro-1-oxo-1-oxo-1,3-$

phenoxy)-acetic acid ethyl ester;

- (2-Ethylsulfanyl-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;
- (2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2,6-Bis-ethylsulfanyl-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Acetylamino-4-{2-(5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-{5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- $\label{lem:condition} \begin{tabular}{ll} (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-phenoxy)-acetic ethyl ester; \end{tabular}$
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxyphenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyll-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxyphenoxy)-acetic acid:
- $(4-\{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl\}-acetyl\}-3-dihydro-isoindol-2-yl\}-acetyl\}-3-dihydro-isoindol-2-yl\}-acetyl\}-3-dihydro-isoindol-2-yl\}-acetyl\}-3-dihydro-isoindol-2-yl]-acetyl\}-3-dihydro-isoindol-2-yl]-acetyl\}-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl]-3-dihydro-isoindol-2-yl]-acetyl[acetyl]-acetyl]-acetyl]-acetyl[acetyl]-ac$

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methoxy-phenoxy)-acetic acid ethyl ester;

- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3propoxy-phenoxy)-acetic acid ethyl ester:
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester:
- (3-Ethoxycarbonylmethoxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
- (2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetyl-phenoxy)-acetic acid ethyl ester:
- (2-Ethyl-5-hydroxy-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-2-isopropyl-phenoxyl-acetic acid ethyl ester:
- (2-tert-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester:
- (2-Chloro-5-hydroxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-3-hydroxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyll-2-methyl-phenoxy)-acetic acid benzyl ester:
- (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-vll-acetyl}-phenoxy)-acetic acid ethyl ester:
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-

acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

- $(3-Hydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-0xo-1,3-dihydro-isoindol-2-yl]-1-0xo-1,3-dihydroxycarbamimidoyl-1-0xo-1,3-dihydro-isoindol-2-yl]-1-0xo-1,3-dihydroxycarbamimidoyl-1-0xo-1,3-dihydro-isoindol-2-yl]-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1,3-dihydro-1-0xo-1$ acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-vl]-acetyl}-3-hvdroxy-2propyl-phenoxy)-acetic acid;
- (4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;
- (3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1.3-dihydro-isoindol-2-vll-acetyl}-phenoxy)-aceic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester;
- phenoxyl-acetic acid ethyl ester;
- (4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl}-3-ethoxyphenoxy)-acetic acid;
- (3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(4-\{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-3-indol-2-yl]-acetyl-3-indol-2-yll$ hydroxy-phenoxy)-acetic acid ethyl ester;
- (3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-

yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-2-oropyl-phenoxy)-acetic acid: and

(3-Allyloxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl)-phenoxy)-acetic acid ethyl ester.

- 31. (Previously presented) A compound according to claim 28 selected from:

  (1-[2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

  (1-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-[3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-{2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-{2-[5-(tert-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester; and
  - (1-{2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-piperidin-4-yloxy)-acetic acid.

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32. (Currently amended) A process for the preparation of the compound of claim 25 a compound of having the general formula (I):

$$(R^{A}) = R^{B} \qquad (I)$$

$$R^{B} \qquad R^{C} \qquad (I)$$

wherein <u>all symbols have the same meaning as defined in claim 25,</u> ring A is phenyl;

R^is-selected from: (CH<sub>2</sub>)pCN, C(=NR<sup>2</sup>) SMe and C(=NR<sup>2</sup>) OMe, or
R^is-selected from one of the following groups of formula (2), formula (3) and
formula (4):

$$\frac{(CH_2)_pNR^1R^2}{NR^3} \frac{(CH_2)_pNR^1R^4}{NR^9}$$

wherein p is 0, 1 or 2;

s is 1 or 2, and when s is 2 the groups RA are independent of each other and can be the same or different:

R¹ and R²-are independently selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, —C(=O)OR5, eyeloalkyl, eyeloalkylalkyl, aryl, arylalkyl and heterocycle; or R¹ and R², tegether with the nitrogen atom to which they are attached, form a saturated, partially saturated or aromatic heterocycle, optionally containing at least one additional hetero atom selected from: N, O and S;

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R³-and R⁴-are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, C(=O)OR⁵, eyeloalkyl, eyeloalkylalkyl, aryl, arylalkyl, heterocycle , OR⁵, SR⁵, NR˚R˚, S(=O)<sub>2</sub>NR˚R˚, S(=O)<sub>2</sub>R¸, C(=O)R˚, C(=O)R˚, C(=O)R˚, OC(=O)R˚, OC(=O)R˚, OC(=O)R˚, OC(=O)NR˚R˚, OS(=O)<sub>2</sub>NR¸, S(C=O)NR˚and OS(=O)<sub>2</sub>NR¸, or R³-and R¹ or R⁴, together with the respective nitrogen atoms to which they are attached, form an unaubstituted or substituted 5 , 6 or 7 membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and C(=O)OR˚;

R<sup>5</sup>-and R<sup>6</sup>-are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, eycloalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, eycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position:

R7-and R9 have the same meaning as R3 and R4, defined above;

R<sup>8</sup> is selected from: II, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, aryl, arylalkyl and heterocycle, wherein said heterocycle is saturated, partially saturated or aromatic and contains at least one hetero atom selected from: N, O and S, with its point of attachment either through C or N, and wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkyl groups optionally contains at least one hetero atom selected from: N, O and S, anywhere in the chain, including the terminal position;

R<sup>B</sup>-is-selected from: H, halogen, CN, NO<sub>2</sub>, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, eyeloalkyl, eyeloalkylalkyl, aryl, arylalkyl, heterocycle, NR<sup>10</sup>R<sup>10</sup>, OR<sup>10</sup>, SR<sup>10</sup>, S(O)R<sup>10</sup>, S(O)R<sup>10</sup>, NHC(=O)R<sup>10</sup>, NHOR<sup>10</sup>, OC(=O)R<sup>10</sup>,

 $SC(=O)R^{10}$ ,  $NHC(=O)OR^{10}$ ,  $OC(=O)OR^{10}$ ,  $C(=O)NR^{10}R^{11}$ ,  $C(=O)R^{10}$ , and  $C(=O)OR^{10}$ .

R10 and R11 have the same meaning as R5 and R6, defined above

Y1 and Y2, together, are selected from: =0 and =S;

R<sup>12</sup>-and R<sup>13</sup>-are selected from: H, OR<sup>5</sup>, alkyl, alkenyl, alkynyl, eyeloalkyl, eveloalkylalkyl and aryl;

Z is N;

Wis CH2:

R<sup>c</sup>-is-solected from: H, alkyl, aryl, heterocycle, =O, =NR<sup>14</sup>, =S, CN, NR<sup>14</sup>R<sup>15</sup>, OR<sup>14</sup>, SR<sup>14</sup>, S(=O)<sub>2</sub>R<sup>16</sup> and COR<sup>16</sup>;

R14 and R15 have the same meaning as R5 and R6, defined above;

R<sup>16</sup> is selected from H, OR<sup>14</sup>, N(R<sup>14</sup>), NR<sup>14</sup>R<sup>16</sup>, SR<sup>14</sup> and R<sup>5</sup>, wherein R<sup>5</sup>, R<sup>14</sup> and R<sup>14</sup> are as defined above:

n is 0, 1, 2 or 3:

R<sup>D</sup> and R<sup>E</sup> are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, eyeloalkyl, eyeloalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, C(=O)OR<sup>6</sup>, OR<sup>17</sup>, SR<sup>17</sup>, NR<sup>17</sup>R<sup>18</sup>, NHC(=O)R<sup>17</sup>, NHC(=O)OR<sup>17</sup>, OC(=O)R<sup>17</sup>, OC(=O)R<sup>17</sup>, OC(=O)R<sup>17</sup>, OC(=O)R<sup>17</sup>, and NHS(=O):R<sup>17</sup>;

R17 and R18 have the same meaning as R5 and R6, defined above;

RF is selected from: O, S and N(OR19);

R10 and R20 have the same meaning as R5 and R6, defined above;

RG is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

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T-(CH2)a-CR23R24-COR25 (5)

and optionally, further substituted by one or more groups selected from: R5, halogen, CN, SCN, CNO, OR<sup>21</sup>, OC(=O)R<sup>21</sup>, OS(=O)<sub>2</sub>R<sup>21</sup>, OS(=O)<sub>2</sub>NR<sup>21</sup>R<sup>22</sup>,  $OC(=O)OR^{21}$ ,  $OC(=O)SR^{21}$ ,  $OC(=O)NR^{21}R^{22}$ ,  $SR^{21}$ ,  $S(=O)R^{21}$ , SC(=O)H, - $SC(=O)OR^{24},\quad NO_2,\quad NR^{91}(OR^{22}),\quad NR^{24}R^{22},\quad NR^{24}C(=O)R^{22},\quad N(R^{24})C(=O)OR^{22},\quad N(R^{24})C(=O)OR^{22}$ NIS(=O)2R21R23, C(=O)OR21, -S(=O)2R21 and -S(=O)2OR21;

R21 and R22 have the same meaning as R1 and R2, defined above:

T is selected from: CH2, O, S and NH;

q is 0, 1, 2 or 3;

R23 and R24 are independently selected from: H, alkyl alkenyl, alkynyl, eyeloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and C(=O)R25, wherein said alkyl and alkenyl-optionally contain at least one hetero-atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected  $from; OR^{1}, OC(=O)R^{1}, OS(=O)_{2}R^{1}, S(=O)_{2}NR^{1}R^{2}, OC(=O)OR^{1}, OC(=O)SR^{1}, OC(=$  $OC(=O)NR^{\frac{1}{2}}R^{2}$ ,  $SR^{\frac{1}{2}}$ ,  $S(=O)R^{\frac{1}{2}}$ , SC(=O)H,  $SC(=O)OR^{\frac{1}{2}}$ ,  $NR^{\frac{1}{2}}(OR^{\frac{1}{2}})$ ,  $NR^{\frac{1}{2}}R^{\frac{1}{2}}$ ,  $NR^{1}C(-O)R^{2}$ ,  $N(R^{1})C(-O)OR^{2}$ ,  $NR^{1}S(-O)_{2}R^{2}$ ,  $C(-O)OR^{1}$ ,  $-S(-O)_{2}R^{1}$  and  $S(=O)_2OR^4$ 

R25 is selected from: OR5, SR5, OCR3R4 and NR5R6, wherein R3, R4, R5 and R6 are as defined above and wherein optionally, R3 and R4, together with the carbon to which they are attached, form an unsubstituted or substituted 5.6 or 7 membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from; N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR5; and the group NR6R6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

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### which process comprises

the process comprising: (a) reacting a compound of formula (II):

#### wherein

L is a leaving group; and all other symbols are as defined above in claim 25; with a compound of the formula (III):

wherein all symbols are as defined above in claim 25;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from -40°C to 150°C, for 0.5 to 16 h, to effect in situ cyclization to form a compound of the general formula (I) above, and, optionally, converting the compound into a physiologically tolerable salt; or

b) reacting a compound of the formula (IV)

wherein

 $L_2$  is a leaving group; and all other symbols are as defined above in claim 25; with a compound of the formula (V):

$$R^{G}$$
—T(CH<sub>2</sub>)<sub>2</sub>CR<sup>23</sup>R<sup>24</sup>COR<sup>25</sup> (V)

where R<sup>G</sup> is selected from: piperidinyl, piperazinyl and phenyl, wherein said piperidinyl, piperazinyl and phenyl, are optionally substituted with 1, 2, 3 or 4 hydroxyl groups, and all other symbols are as defined above in claim 25, in the presence of an organic or inorganic base in an organic solvent or water at a temperature ranging from 0°C to 150°C, for 0.5 to 12 h, to form a compound of the general formula (I), and, optionally, converting one or more of the hydroxyl groups into a group selected from the substituents for R<sup>G</sup> as defined in general formula (I) and, optionally, converting the compound into a physiologically tolerable salt; alternatively, activating a compound of the formula (IV) above, wherein L<sub>2</sub> is -OH, by treatment with a mixed anhydride to form a peptide coupling with a compound of the formula (V), wherein R<sup>G</sup> is piperidinyl or piperazinyl, and thereby provide a compound of the general formula (I), wherein R<sup>G</sup> is piperidinyl or piperazinyl substituted with at least a group of the formula (5); and, optionally, converting the resultant compound into a physiologically tolerable salt<del>ic or</del>

e) alkylating a compound of the formula (VIII):

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wherein B is halogen, acetate or formate, and all other symbols are as defined above:

with a compound of the formula:

$$\begin{array}{c|c}
R^{\text{G}} & \text{(VII)} \\
\hline
R^{\text{F}} & CR^{\text{D}}R^{\text{E}}(CH_2)_{\text{D}}L_3 & \text{(VII)}
\end{array}$$

#### wherein

R<sup>2</sup>—is phenyl, having at least one substituent which is OCH<sub>2</sub>Phenyl, and optionally at least one further substituent selected from: R<sup>5</sup>, halogen, CN, SCN, CNO, OR<sup>21</sup>, OC(=O)R<sup>21</sup>, OS(=O)<sub>2</sub>R<sup>21</sup>, OS(=O)<sub>2</sub>NR<sup>21</sup>R<sup>22</sup>, OC(=O)OR<sup>21</sup>, OC(=O)OR<sup>21</sup>, OC(=O)OR<sup>21</sup>, SC(=O)H, SC(=O)OR<sup>21</sup>, NO<sub>2</sub>, NR<sup>21</sup>OH, NR<sup>21</sup>(OR<sup>22</sup>), NR<sup>21</sup>R<sup>22</sup>, NR<sup>21</sup>C(=O)R<sup>22</sup>, N(R<sup>21</sup>)C(=O)OR<sup>22</sup>, N(S(=O)AR<sup>21</sup> R<sup>22</sup>, C(=O)OR<sup>21</sup>, S(=O)AR<sup>21</sup> and S(=O)<sub>2</sub>OR<sup>21</sup>; and

La is a leaving group; and all other symbols are as defined above;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from 40°C to 150°C, for 0.5 to 16 h, to effect in situ cyclization to form the compound of general formula (I), wherein R° is phenyl having at least one substitutent which is OCH<sub>2</sub>Phenyl, R^ is COOEt and s is 2; converting the OCH<sub>2</sub>Phenyl into hydroxyl and subsequently coupling the hydroxyl with the group L<sub>4</sub> (CH<sub>2</sub>)<sub>4</sub> CR<sup>23</sup>R<sup>24</sup>COR<sup>25</sup>, where L<sub>4</sub> is a leaving group;

optionally converting one or both of the COOEt groups into the cyano group— (CH2)pCN, wherein p is as defined; optionally, subsequently converting at least one of the cyano groups into a group of the formula (3), as defined; and, optionally, converting the resultant compound into a physiologically tolerable salt.

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A pharmaceutical composition, comprising a 33. (Previously presented) compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

A pharmaceutical composition for inhibiting the 34. (Previously presented) binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

A pharmaceutical composition for inhibiting the 35. (Previously presented) binding of fibringen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, in combination with an antithrombotic agent and a pharmaceutically acceptable carrier.

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## Clean Claim Set

### 25. A compound of the general formula (I):

$$(R^{A}) = \begin{pmatrix} Y_{1} & Y_{2} & (CH_{2})_{n} & R^{F} \\ W & R^{C} & R^{E} & (I) \end{pmatrix}$$

wherein

ring A is phenyl;

RA is a group of formula (3):

wherein p is 0;

s is 1:

R<sup>1</sup> is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, -C(=O)OR<sup>5</sup>, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle:

 $R^3$  and  $R^4$  are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, -C(=O)OR5, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, -OR5, -SR5, -NR5R6, -S(=O)2NR5R6, -S(=O)2R5, -C(=O)R5, -C(=O)NR5R6, -C(=O)OR5, -C(=O)OR5, -OC(=O)OR5, -OC(=O)OR5, -OC(=O)NR5R6, -OS(=O)2R5, -S(C=O)NR5 and -OS(=O)2NR5R6, or  $R^3$  and  $R^1$  or  $R^4$ , together with

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the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR<sup>5</sup>;

R<sup>5</sup> and R<sup>6</sup> are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

RB is H:

Y1 and Y2, together, are selected from: =O and =S;

Z is N;

W is CH:

Rc is H;

n is 0, 1, 2 or 3;

R<sup>D</sup> and R<sup>E</sup> are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy,

 $-C(=O)OR^5, -OR^{17}, -SR^{17}, -NR^{17}R^{18}, -NHC(=O)R^{17}, -NHC(=O)OR^{17}, -OC(=O)R^{17}, \\$ 

 $-SC(=O)R^{17}$ ,  $-OS(=O)_2R^{17}$  and  $-NHS(=O)_2R^{17}$ ;

 $R^{17}$  and  $R^{18}$  have the same meaning as  $R^5$  and  $R^6$ , defined above;

RF is selected from: O, S and N(OR19);

R<sup>19</sup> has the same meaning as R<sup>5</sup>, defined above;

RG is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle,

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where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

$$T-(CH_2)_0-CR^{23}R^{24}-COR^{25}$$
 (5)

and optionally, further substituted by one or more groups selected from: -R<sup>5</sup>, halogen, -CN, -SCN, -CNO, -OR<sup>21</sup>, -OC(=O)R<sup>21</sup>, -OS(=O)<sub>2</sub>R<sup>21</sup>, -OS(=O)<sub>2</sub>RR<sup>21</sup>R<sup>22</sup>, -OC(=O)OR<sup>21</sup>, -OC(=O)SR<sup>21</sup>, -OC(=O)NR<sup>21</sup>R<sup>22</sup>, -SR<sup>21</sup>, -S(=O)R<sup>21</sup>, -NO<sub>2</sub>, -NR<sup>21</sup>(OR<sup>22</sup>), -NR<sup>21</sup>R<sup>22</sup>, -NR<sup>21</sup>C(=O)R<sup>22</sup>, -N(R<sup>21</sup>)C(=O)OR<sup>22</sup>, -N(S(=O)<sub>2</sub>R<sup>21</sup>]R<sup>23</sup>,

C(=O)OR<sup>21</sup>, -S(=O)<sub>2</sub>R<sup>21</sup> and -S(=O)<sub>2</sub>OR<sup>21</sup>;

R<sup>21</sup> has the same meaning as R<sup>1</sup>, defined above, and R<sup>2</sup> is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy. -C(=O)OR<sup>5</sup>, cycloalkyl, cycloalkyl, aryl, aryl, arylalkyl and heterocycle:

T is selected from: -CH2, O, S and NH;

q is 0, 1, 2 or 3;

R<sup>24</sup> and R<sup>24</sup> are independently selected from: H, alkyl alkenyl, alkynyl, cycloalkyl, cycloalkyl, aryl, arylalkyl, heterocycle and C(=O)R<sup>25</sup>, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from:

-OR¹, -OC(=O)R¹, -OS(=O)<sub>2</sub>R¹, -S(=O)<sub>2</sub>NR¹R², -OC(=O)OR¹, -OC(=O)SR¹,

-OC(=O)NR¹R², -SR¹, -S(=O)R¹, -SC(=O)H, -SC(=O)OR¹, -NR¹(OR²), -NR¹R²,

-NR¹C(=O)R², -N(R¹)C(=O)OR², -NR¹S(=O)<sub>2</sub>R², C(=O)OR¹, -S(=O)<sub>2</sub>R¹ and

-S(=O)<sub>2</sub>OR¹;

R<sup>25</sup> is selected from: OR<sup>5</sup>, SR<sup>5</sup>, -OCR<sup>3</sup>R<sup>4</sup> and -NR<sup>5</sup>R<sup>6</sup>, wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined above and wherein optionally, R<sup>3</sup> and R<sup>4</sup>, together with the carbon to which they are attached, form an unsubstituted or substituted 5-, 6- or 7-membered saturated, partially saturated or aromatic heterocycle having one or

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more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR<sup>5</sup>; and the group NR<sup>5</sup>R<sup>6</sup> is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

in all its stereoisomeric and tautomeric forms and mixtures thereof in all ratios, and its pharmaceutically acceptable salts.

# 26. A compound according to claim 25, wherein

RG is selected from: phenyl, piperidinyl and piperazinyl, and said phenyl, piperidinyl and piperazinyl are substituted by one or more groups of the formula (5):

$$T-(CH_2)_0-CR^{23}R^{24}-COR^{25}$$
 (5)

and optionally, further substituted by one or more groups selected from:  $-R^5$ , halogen, -CN, -SCN, -CNO,  $-OR^{21}$ ,  $-OC(=O)R^{21}$ ,  $-OS(=O)_2R^{21}$ ,  $-OS(=O)_2NR^{21}R^{22}$ ,  $-OC(=O)OR^{21}$ ,  $-OC(=O)SR^{21}$ ,  $-OC(=O)NR^{21}R^{22}$ ,  $-SR^{21}$ ,  $-S(=O)R^{21}$ ,  $-NO_2$ ,  $-NR^{21}(OR^{22})$ ,  $-NR^{21}R^{22}$ ,  $-NR^{21}C(=O)R^{22}$ ,  $-N(R^{21})C(=O)OR^{22}$ ,  $-N(S(=O)_2R^{21})R^{23}$ ,  $C(=O)OR^{21}$ ,  $-S(=O)_2R^{21}$  and  $-S(=O)_2OR^{21}$ ; and  $R^{21}$  and  $R^{22}$  are as defined in claim 25.

# 27. A compound according to claim 25, wherein

R<sub>1</sub> is hydrogen;

 $R_3$  and  $R_4$  are independently selected from: H, OH, -C(O)OH and -C(O)Oalkyl;  $R^B = R^C = R^D = R^F = hydrogen$ ;

 $Y^1$  and  $Y^2$ , together are =0;

n is the integer 0 or 1;

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RG is phenyl, substituted with one or more of the group of formula (5): T-(CH2)q-CR23R24-COR25, wherein R23 is H and R24 is H, and, optionally, the compound is further substituted with one or more of the groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR5, SR21,  $S(=O)_2R^{21}$  and  $-N(R^{21})-C(O)CH_3$ ,  $-CH_2C(O)R^{25}$ ;

and R25 is selected from: OR5, OCR3R4 and NR5R6, wherein R3 and R4, together with the carbon to which they are attached form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR5; and

R5. R6 and R21 are independently selected from; H, alkyl and phenyl.

# 28. A compound according to claim 25, wherein

R<sub>1</sub> is hydrogen;

R<sub>3</sub> and R<sub>4</sub> are independently selected from: H, OH, -C(O)OH and -C(O)Oalkyl;

 $R^B = R^C = R^D = R^E = hvdrogen;$ 

 $Y^1$  and  $Y^2$ , together are =0;

n is the integer 0 or 1;

RG is selected from: piperidinyl and piperazinyl, wherein said piperidinyl and piperazinyl are substituted with one or more of the group of formula (5): T-(CH2)0-CR23R24-COR25, wherein R23 is H and R24 is H and, optionally, further substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR5;

and

R<sup>25</sup> is OR<sup>5</sup>, wherein R<sup>5</sup> is selected from: H, alkyl and phenyl.

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### 29. A compound according to claim 25 selected from:

- (4- [2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- 4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;
- (4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-[2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl)-phenoxy)-acetic acid isobutyl ester:
- (4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy) -acetic acid isobutyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid:
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid benzyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester:
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl)—phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-{5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(2-Ethoxycarbonylmethoxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-1-hydroxyimino-ethyl\}-phenoxy)-acetic acid ethyl ester;$
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
- $2 \cdot (4 \cdot \{2 \{5 Carbamimidoyl 1 oxo 1, 3 dihydro-isoindol 2 yl\} acetyl\} phenoxy) \cdot NN-diethyl-acetamide;$

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- 4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}acetoxy)-piperidine-1-carboxylic acid benzyl ester;
- 4-Benzyloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;
- 4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-
- dihydro-isoindol-2-vll-acetyll-phenoxy)-butyric acid ethyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenylsulfanyl)-acetic acid methyl ester;
- (4-[2-[5-Carbamimidovl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chlorophenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanylphenoxy)-acetic acid ethyl ester;
- (2-Ethylsulfanyl-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2vll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;
- (2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2.6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Acetylamino-4-[2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(2-(Ethoxy carbonyl methyl-methane sulfonyl-amino)-4-\{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-phenoxy)-acetic acid ethyl ester; \\$
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxyphenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-phenoxy)-acetic acid ethyl ester:
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl)-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxyphenoxy)-acetic acid:
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3propoxy-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;
- $(3-Ethoxy carbonyl methoxy-4-\{2-[5-(N-hydroxy carbamimid oyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl\}-phenoxy)-acetic acid;$
- (2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethyl-5-hydroxy-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- (5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;
- (2-tert-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-vl]-acetyl-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-5-hydroxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-3-hydroxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-(2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyll-2-methyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;
- (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyll-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl)-2-propyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;
- (4-Hydroxy-3-{2-(5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl)-phenoxy)-acetic acid ethyl ester;
- $(3-Hydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]\\ acetyl\}-5-methoxy-phenoxy)-acetic acid ethyl ester;$
- (3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- $(2-Ethoxycarbonylmethoxy-3-hydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-10-(N-hydroxycarbamimidoyl)-1-ox$
- 1.3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-aceic acid ethyl ester;
- $(2-Ethoxy carbonyl methoxy-5-hydroxy-4-\{2-[5-(N-hydroxy carbamimid oyl)-1-oxo-10-(N-hydroxy carbamim$
- 1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-
- hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-[2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{2-[5-(tert-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-vl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
- (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid;
- (3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;
- (3-Hydroxy-4-[2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl]-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- (4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3hydroxy-phenoxy)-acetic acid ethyl ester:
- (3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidovl-1-oxo-1.3-dihydro-isoindol-2-vl]-acetyl}-3-hydroxy-2propyl-phenoxy)-acetic acid ethyl ester:
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-propyl-phenoxy)-acetic acid; and
- (3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester.

## 30. A compound according to claim 27 selected from:

- (4- {2-[5-Carbamimidovl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester:
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid methyl ester:
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester:
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}phenoxy)-acetic acid ethyl ester;
- 4-(2-{5-Carbamimidovl-1-oxo-1.3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;
- (4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl}acetyl}-phenoxy)-acetic acid isopropyl ester:
- (4-{2-{5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl}acetyl}-phenoxy)-acetic acid isopropyl ester;

- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyll-phenoxy)-acetic acid isopropyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl)-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyll-phenoxy)-acetic acid isobutyl ester;
- (4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl)-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- $\label{eq:condition} (4-\{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl\}-phenoxy)-acetic acid:$
- (4-[2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;

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- (2-Ethoxycarbonylmethoxy-4-{2-15-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-[3-methyl-butyrylamino]-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,8-dihydro-isoindol-2-yl]-acetyl]-2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
- $\hbox{$2$-(4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl)-phenoxy)-NN-diethyl-acetamide;}$
- 4-(2-(4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxyl-acetoxy)-piperidine-1-carboxylic acid benzyl ester;
- 4-Benzyloxycarbonylamino-2-(4-[2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-vll-acetyll-phenoxy)-butyric acid ethyl ester:
- 4-Benzyloxycarbonylamino-2-(4-{2-15-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-vll-acetvl}-phenoxy)-butyric acid ethyl ester:
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chlorophenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-[2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

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- (2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;
- (2-Ethanesulfonyl-4-{2-{5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2,6-Bis-ethylsulfanyl-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Acetylamino-4-[2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-vll-acetyl)-phenoxy)-acetic acid ethyl ester:
- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-[2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester:
- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-(5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- $(3-Hydroxy-4-\{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yll-acetyl\}-phenoxy)-acetic acid benzyl ester;$
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid:
- (4-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

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- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3propoxy-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;
- (3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
- (2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester:
- (2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester:
- (2-tert-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydroisoindol-2-vll-acetvl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-[2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;
- (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yllacetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidovl-1-oxo-1,3-dihydro-isoindol-2-vl]-acetyl}-3-hydroxy-2propyl-phenoxy)-acetic acid:
- (4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1.3-dihydro-isoindol-2-vl]acetyl}-phenoxy)-acetic acid ethyl ester:
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;
- (3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2vll-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-3-hydroxy-4-(2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1.3-dihydro-isoindol-2-vll-acetyl}-phenoxy)-aceic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1.3-dihydro-isoindol-2-vl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxyphenoxy}-acetic acid ethyl ester;
- (4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxyphenoxy)-acetic acid;
- (3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2.5-dihydro-[1,2,4loxadiazol-3-yl)-1,3-dihydroisoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3hydroxy-phenoxy)-acetic acid ethyl ester:
- (3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

- (4-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,8-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and
- (3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.
- 31. (Previously presented) A compound according to claim 28 selected from:
  - (1-[2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl]-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-[2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-[2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
  - (1-[2-[5-(tert-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester; and
  - (1-[2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-vloxv)-acetic acid.

32. A process for the preparation of the compound of claim 25 having the general formula (I):

$$(\mathbb{R}^{A}) \underbrace{ \begin{array}{c} Y_{1} \\ A \\ \mathbb{R}^{B} \end{array} }_{\mathbb{R}^{B}} \underbrace{ \begin{array}{c} Y_{2} \\ \mathbb{R}^{C} \end{array} (\mathbb{C}H_{2})_{n} \\ \mathbb{R}^{C} \end{array} }_{\mathbb{R}^{C}} \mathbb{R}^{E}$$
 (I)

wherein all symbols have the same meaning as defined in claim 25, the process comprising: (a) reacting a compound of formula (II):

wherein

L is a leaving group; and all other symbols are as defined in claim 25; with a compound of the formula (III):

wherein all symbols are as defined in claim 25;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from -40°C to 150°C, for 0.5 to 16 h, to effect in situ cyclization to form a compound of the general formula (I) above, and, optionally, converting the compound into a physiologically tolerable salt; or

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b) reacting a compound of the formula (IV)

$$(R^{A}) \xrightarrow{R^{B}} (R^{B}) \xrightarrow{R^{C}} (R^{D})_{n} \xrightarrow{R^{C}} (R^{D})_{n}$$

$$(R^{A}) \xrightarrow{R^{C}} (R^{D})_{n} \xrightarrow{R^{C}} (R^{D})_{n}$$

$$(R^{C}) \xrightarrow{R^{C}} (R^{D})_{n} \xrightarrow{R^{C}} (R^{D})_{n}$$

$$(R^{C}) \xrightarrow{R^{C}} (R^{D})_{n} \xrightarrow{R^{C}} (R^{D})_{n}$$

wherein

L<sub>2</sub> is a leaving group; and all other symbols are as defined in claim 25; with a compound of the formula (V):

where R<sup>G</sup> is selected from: piperidinyl, piperazinyl and phenyl, wherein said piperidinyl, piperazinyl and phenyl, are optionally substituted with 1, 2, 3 or 4 hydroxyl groups, and all other symbols are as defined in claim 25, in the presence of an organic or inorganic base in an organic solvent or water at a temperature ranging from 0°C to 150°C, for 0.5 to 12 h, to form a compound of the general formula (I), and, optionally, converting one or more of the hydroxyl groups into a group selected from the substituents for R<sup>G</sup> as defined in general formula (I) and, optionally, converting the compound into a physiologically tolerable salt; alternatively, activating a compound of the formula (IV) above, wherein L<sub>2</sub> is -OH, by treatment with a mixed anhydride to form a peptide coupling with a compound of the formula (V), wherein R<sup>G</sup> is piperidinyl or piperazinyl, and thereby provide a compound of the general formula (I), wherein R<sup>G</sup> is piperidinyl or piperazinyl substituted with at least a group of the formula (5); and, optionally, converting the resultant compound into a physiologically tolerable salt.

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- 33. A pharmaceutical composition, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- 34. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier
- 35. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, in combination with an antithrombotic agent and a pharmaceutically acceptable carrier.

Conclusion:

Applicant believes that the foregoing proposed amendments would place the

application in condition for allowance. Applicant requests entry of the amendments

and rejoinder of claim 32. If any further matters need to be addressed, please

 $contact\ Applicant's\ representative.$ 

Respectfully submitted,

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